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Attorney Docket No. P30958C2

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicants: Fatina et al.

September 22, 1999

Serial No.: 08/450,437

Group Art Unit No.: 1711

Filed: May 25, 1995

Examiner: J. Mullis

For: Q JINOLINE DERIVATIVES

Batch No.: P58

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SEP 22 1999

Assistant Commissioner of Patents
Washington, D.C. 20231OFFICE OF PETITIONS
A/C PATENTS**PETITION TO WITHDRAW FROM ISSUE UNDER 37 C.F.R.**
§ 1.313(b)(3) WITH AMENDMENT OF CLAIMS

In this application, the issue fee was paid on May 25, 1999, in response to a Notice of Allowance mailed February 26, 1999. Applicants now petition to withdraw this application from issue under the provisions of 37 C.F.R. § 1.313(b)(3). Applicants unequivocally submit that allowed claims 1-14 and 17-21 are unpatentable over the enclosed copy of U.S. Patent No. 4,711,890, issued December 8, 1997, not previously submitted in this application ("the '890 patent"). Approval of this petition is respectfully requested.

A Supplemental Information Disclosure Statement and PTO Form 1449 are also enclosed.

In the event such petition is accepted, Applicants submit the following claims which are believed to be outside the scope of the newly cited art. None of the claims add new matter to this application. No new search is believed necessary based upon the claims now presented. In addition, the Commissioner is hereby authorized to charge the requisite fee under 37 C.F.R. § 1.17(i) (\$130.00) to Deposit Account No. 19-2570.

IN THE CLAIMS:

Please: cancel claims 1 and 17, and add new claims 59-61, as follows:

--59. A compound, or solvate or salt thereof, of formula (I):

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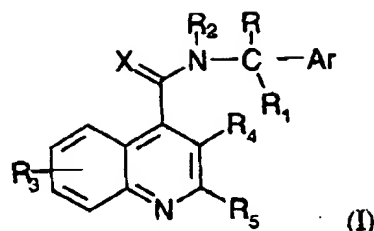
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in which:

Ar is an optionally substituted phenyl group, or a naphthyl or C₅₋₇

cycloalkdienyl group, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

R is linear or branched C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C₁₋₆ alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C₁₋₆ alkyl, amino C₁₋₆ alkyl, C₁₋₆ alkylaminoalkyl, di C₁₋₆ alkylaminoalkyl, C₁₋₆ acylaminoalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxy carbonyl, C₁₋₆ alkoxy carbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group -(CH₂)_p- when cyclized onto Ar, where p is 2 or 3;

R₁ is hydrogen or C₁₋₆ linear or branched alkyl, or together form a -(CH₂)_n- group in which n represents 3, 4, or 5; or R₁ together with R forms a group -(CH₂)_q-, in which q is 2, 3, 4 or 5;

R₂ is hydrogen;

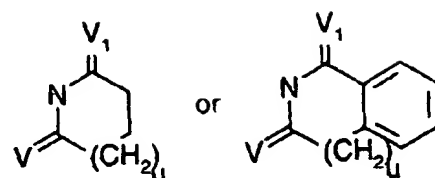
R₃ is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxy carbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group

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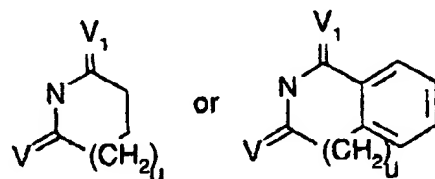


in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

R₄ is C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxycarbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino,

-O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

or R₄ is a group -(CH₂)_t- when cyclized onto R₅ as aryl, in which t is 1, 2, or 3;

R₅ is branched or linear C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, optionally substituted aryl, wherein an optional substituent is hydroxy, halogen, C₁₋₆ alkoxy or C₁₋₆ alkyl, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from

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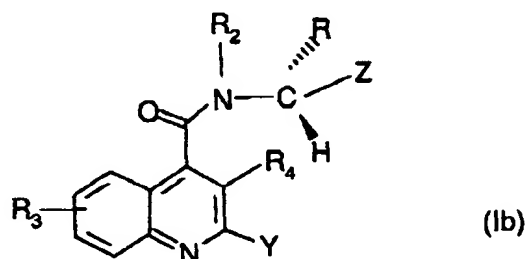
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5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

X is O, S, or N- $\text{C}\equiv\text{N}$.

60. A compound, or solvate or salt thereof, of formula (Ib):



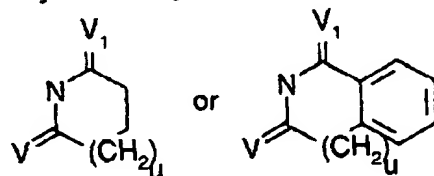
in which:

R is linear or branched C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C₁₋₆ alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C₁₋₆ alkyl, amino C₁₋₆ alkyl, C₁₋₆ alkylaminoalkyl, di C₁₋₆ alkylaminoalkyl, C₁₋₆ acylaminoalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxy carbonyl, C₁₋₆ alkoxy carbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group $-(\text{CH}_2)_p-$ when cyclized onto Ar, where p is 2 or 3;

R₁ is hydrogen or C₁₋₆ linear or branched alkyl, or together form a $-(\text{CH}_2)_n-$ group in which n represents 3, 4, or 5; or R₁ together with R forms a group $-(\text{CH}_2)_q-$ in which q is 2, 3, 4 or 5;

R₂ is hydrogen;

R₃ is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxy carbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, $-\text{O}(\text{CH}_2)_r-\text{NT}_2$, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



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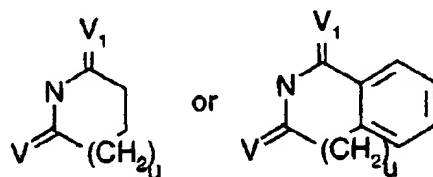
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in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

R₄ is C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxy, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ dialkylamino,

-O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

or R₄ is a group -(CH₂)_t- when cyclized onto R₅ as aryl, in which t is 1, 2, or 3;

Z is phenyl or phenyl substituted by hydroxy, halogen, C₁₋₆ alkoxy, C₁₋₆ alkyl or Z is a single or fused ring heterocyclic group, having aromatic character containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N or Z is C₅₋₇ cycloalkadienyl; and

Y is C₃₋₇ cycloalkyl, phenyl or phenyl substituted by hydroxy, halogen, C₁₋₆ alkoxy, or

C₁₋₆ alkyl, or Y is a single or fused ring heterocyclic group, having

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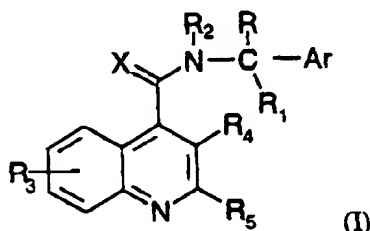
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Group Art Unit 1711

aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N.

61. A compound, or solvate or salt thereof, of formula (I):



in which:

Ar is an optionally substituted phenyl group, or a naphthyl or C₅₋₇ cycloalkdinyl group, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

R is linear or branched C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C₁₋₆ alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C₁₋₆ alkyl, amino C₁₋₆ alkyl, C₁₋₆ alkylaminoalkyl, di C₁₋₆ alkylaminoalkyl, C₁₋₆ acylaminalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxy carbonyl, C₁₋₆ alkoxy carbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group -(CH₂)_p- when cyclized onto Ar, where p is 2 or 3;

R₁ is hydrogen or C₁₋₆ linear or branched alkyl, or together form a -(CH₂)_n- group in which n represents 3, 4, or 5; or R₁ together with R forms a group -(CH₂)_q-, in which q is 2, 3, 4 or 5;

R₂ is hydrogen;

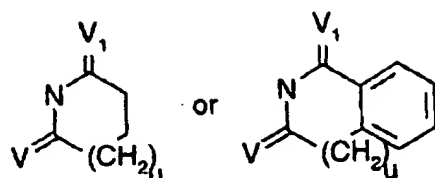
R₃ is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxy carbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group

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in which V and V_1 are independently hydrogen or oxygen and u is 0, 1 or 2;

$-O(CH_2)_s OW_2$ in which s is 2, 3, or 4 and W is hydrogen or C_{1-6} alkyl; hydroxyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulfonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R_3 substituents being present in the quinoline nucleus;

R_4 is hydroxy;

R_5 is branched or linear C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{4-7} cycloalkylalkyl, optionally substituted aryl, wherein an optional substituent is hydroxy, halogen, C_{1-6} alkoxy or C_{1-6} alkyl, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

X is O, S, or $N-C\equiv N$.

Please amend the claims, as follows:

for each of claims 2-13, at line 1, delete "claim 1" and insert --claim 59--;

14. (Amended) A pharmaceutical composition comprising a compound of formula (I) or salt or solvate thereof, as defined in claim [1] 59, and a pharmaceutically acceptable carrier.

15. (Twice Amended) A method for the treatment and/or prophylaxis of pulmonary disorders skin disorders and itch, neurogenic inflammation and CNS disorders, convulsive disorders, epilepsy, renal disorders, urinary incontinence, ocular inflammation, inflammatory pain, eating disorders, allergic rhinitis, neurodegenerative disorders, psoriasis, Huntington's disease, and depression in mammals, which comprises administering to the mammal in need of such treatment and/or prophylaxis an effective amount of a compound of formula (I), or a solvate or salt thereof, as defined in claim [1] 59.

for each of claims 18-20, at line 1, delete "claim 17" and insert --claim

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60--.

21. (Amended) A pharmaceutical composition comprising a compound according to claim [17] 60 or a pharmaceutically acceptable a salt or solvate thereof and a pharmaceutically acceptable carrier.

22. (Twice Amended) A method for the treatment and/or prophylaxis of pulmonary disorders skin disorders and itch, neurogenic inflammation and CNS disorders, convulsive disorders, epilepsy, renal disorders, urinary incontinence, ocular inflammation, inflammatory pain, eating disorders, allergic rhinitis, neurodegenerative disorders, psoriasis, Huntington's disease, and depression in mammals, which comprises administering to the mammal in need of such treatment and/or prophylaxis an effective amount of a compound of formula (I), or a solvate or salt thereof, as defined in claim [17] 60.

REMARKS

This paper is a combined Petition to Withdraw from Issue Under 37 C.F.R. §1.313(b)(3), as well as an Amendment with claims falling outside the scope of the newly cited art. By this amendment, new claims 59-61 are presented. Allowed claims 2-15 have been amended in order to depend from new claim 59. Allowed claims 18-22 have been amended in order to depend from new claim 60. Allowed claims 23-58 are believed to be free of the art already cited in this case, as well as the '890 patent. In addition, new claims 59-61, and amended claims 2-15 and 18-22 are believed to be patentable in view of the '890 patent. Support for the addition of new claims 59-61 is found in the specification, examples and claims as originally filed. Therefore, Applicants submit that the new claims are now patentable. No additional searching is believed required by these newly presented claims.

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In view of the foregoing, Applicants respectfully request the grant of this Petition to Withdraw this application from issue, and allowance of claims 2-16 and 18-61.

Respectfully submitted,



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#18

Attorney Docket No. P30958C2

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicant: Farina et al.

September 22, 1999

Serial No.: 08/450 437

Group Art Unit No.: 1711

Filed: May 21, 1995

Examiner: J. Mullis

For: QUINOLINE DERIVATIVES

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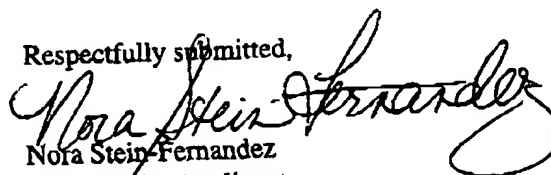
OFFICE OF PETITIONS
A/C PATENTSAssistant Commissioner of Patents
Washington, D.C. 20531**INFORMATION DISCLOSURE STATEMENT FILED WITH PETITION TO
WITHDRAW FROM ISSUE UNDER 37 C.F.R. §1.313(b)(3)**

In this application, the issue fee was paid on May 25, 1999, in response to a Notice of Allowance mailed February 26, 1999. Applicants now petition to withdraw this application from issue under the provisions of 37 C.F.R. §1.313(b)(3). In accordance with §1.313(b)(3), Applicants submit this Information Disclosure Statement citing U.S. Patent No. 4,711,890, issued December 8, 1997, not previously submitted in this application. Consideration of this art is respectfully requested.

A form PTO-1449 enclosed in duplicate, which lists the enclosed document, accompanies this Information Disclosure Statement. Applicants assert that the claimed invention is patentable over this document.

Applicants respectfully request that the Examiner consider and make of record the document cited herein and that a copy of the form PTO-1449, appropriately initialed by the Examiner, be returned to Applicant's attorney.

Respectfully submitted,

Nora Stein-Fernandez
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